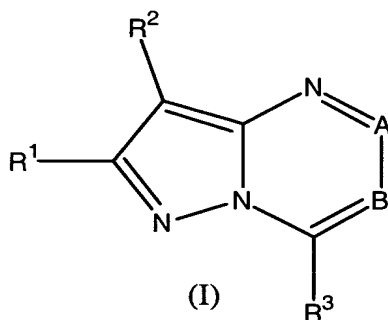


WHAT IS CLAIMED IS:

1. A compound of formula I:

5



or a stereoisomer or pharmaceutically acceptable salt thereof, wherein:

10

A equals N or CR⁵;

B equals CR⁴,

provided that A can not be CR⁵ and B can not be CR⁴ to

15

form a pyrazolopyrimidine;

R¹ is independently selected from the group consisting of

H,

20

halogen,

CN,

C₁₋₆ alkyl,

C₂₋₁₀ alkenyl,

C₂₋₁₀ alkynyl,

25

C₃₋₆ cycloalkyl,

C₁₋₆ alkyloxy,

C₁₋₆ alkylS(O)_n,

-NR^{1a}R^{1b} wherein R^{1a} and R^{1b} are independently selected from

H, C₁₋₄ alkyl, C₃₋₈ cycloalkyl,

30

-C(O)C₁₋₄alkyl,

C₁₋₆ alkylNR^{1a}R^{1b},

NR^{1a}COR^{1b},

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-C(O)NR^{1a}R^{1b},

-O-C(O)C₁₋₄alkyl,

-XR^{1c} wherein R^{1c} is selected from H or -C₁₋₄ alkylaryl;

5 X is selected from O or S(O)_n,

wherein R¹ is substituted with 0-6 substituents selected from halogen, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₄ haloalkyl, C₁₋₄ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylthio, 10 C₁₋₄ alkylsulfinyl or C₁₋₄ alkylsulfonyl;

R² is selected from the group consisting of H, OR⁷, SH, NR⁶R⁷, C(OH)R⁶R^{6a}, C(OR⁷)R⁶R^{6a}, S(O)_nR¹³, COR⁷, CO₂R⁷, CHR⁶(OR⁷)R^{6a}, OC(O)R¹³, NO, NO₂, NR⁶C(O)R⁷, N(COR⁷)₂, 15 NR⁸CONR⁶R⁷, NR⁶CO₂R⁷; or

C₁₋₁₀ alkyl,

C₂₋₁₀ alkenyl,

C₂₋₁₀ alkynyl,

20 C₃₋₈ cycloalkyl,

C₃₋₆ cycloalkyl C₁₋₆ alkyl,

C₁₋₁₀ alkyloxy,

C₁₋₁₀ alkyloxyC₁₋₁₀ alkyl,

-SO₂-C₁₋₁₀alkyl

25 -SO₂R^{2a} wherein R^{2a} is aryl,

-SO₂R^{2b} wherein R^{2b} is heteroaryl,

-NR^{2c}R^{2d} wherein R^{2c} and R^{2d} are independently selected from H, C₁₋₈ alkyl, S(O)_nC₁₋₄alkyl, C(O)NR^{2c}R^{2d}, CO₂C₁₋₄alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxyC₁₋₆ alkyl, or -C(O)C₁₋₄alkyl, 30

- halogen,

-CN,

-C(O)-L wherein L is selected from H, NR^{2c}R^{2d}, C₁₋₆ alkyl or OC₁₋₄ alkyl, O(CH₂)_mOR wherein R is C₁₋₃ alkyl,

35 O(CH₂)_m-NR^{2c}R^{2d}, OH, C(O)OC₁₋₆alkyl or aryl or heteroaryl wherein m is 1-4;

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-OC(O)-M wherein M is selected from C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₂₋₈ alkoxyalkyl,

C₃₋₆ cycloalkyl, C₄₋₁₂ cycloalkylalkyl, aryl, C₁₋₆ alkylaryl, heteroaryl,

5 C₁₋₆ alkylheteroaryl;

n is 0, 1 or 2; and wherein

R² is substituted with 0-3 substituents independently
10 selected from R', R'', R''' wherein R', R'' and R''' are
independently selected from C₁₋₆ alkyl, C₃₋₇ cycloalkyl,
hydroxyC₁₋₆ alkyl, C₁₋₆ alkyloxyC₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆
alkynyl, C₁₋₆ alkyloxy, hydroxy, or

15 R² is substituted with 0-3 substituents independently
selected from:

halogen,

-CN,

20 -S(O)_nR^{2e} wherein R^{2e} is selected from C₁₋₄ alkyl, C₁₋₄
haloalkyl, C₁₋₄ alkyloxy C₁₋₄ alkyl,

C₃₋₆ cycloalkyl;

-COR^{2f} wherein R^{2f} is selected from H, C₁₋₄ alkyl, C₁₋₄
haloalkyl, C₁₋₄ alkyloxy C₁₋₄ alkyl, C₃₋₆ cycloalkyl, and C₃₋₆
25 cycloalkylC₁₋₄ alkyl;

-CO₂R^{2f},

-NR^{2g}COR^{2f} wherein R^{2g} is selected from H, C₁₋₆ alkyl, C₃₋₇
cycloalkyl, C₃₋₆ cycloalkyl C₁₋₆ alkyl;

-N(COR^{2f})₂,

30 -NR^{2g}CONR^{2f}R^{2h}, wherein R^{2h} is selected from H, C₁₋₆ alkyl, C₁₋₄
haloalkyl, C₁₋₄ alkoxy C₁₋₄ alkyl, C₃₋₆ cycloalkyl and C₃₋₆
cycloalkylC₁₋₆ alkyl;

-NR^{2g}CO₂R^{2e},

35 -CONR^{2g}R^{2h},

1-morpholinyl,

1-piperidinyl,

1-piperazinyl,

and

C₃₋₈ cycloalkyl wherein 0-1 carbon atoms in the C₄₋₈ cycloalkyl is replaced by a group selected from -O-, -S(O)_n-, -NR^{2g}-, -NCO₂R^{2e}, -NCOR^{2e}, and -NSO₂R^{2e}; and wherein
 5 N⁴ in 1-piperazinyl is substituted with 0-1 substituents selected from R^{2g}, CO₂R^{2e}, COR^{2e} and SO₂R^{2e}; or

the group R²ⁱ, R^{2j}, R^{2k}, C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, Br, Cl, F, I, C₁₋₄ haloalkyl, -OR^{2g}, -NR^{2g}R^{2h}, -C₁₋₆ alkyl-OR^{2g},
 10 and C₃₋₈ cycloalkyl which is substituted with 0-1 R²ⁱ and in which 0-1 carbons of C₄₋₈ cycloalkyl is replaced by -O-, wherein R²ⁱ is selected from aryl wherein aryl is selected from phenyl, naphthyl, indanyl and indenyl, each R²ⁱ being substituted with 0-1 OR^{2m} and 0-5 substituents

15 independently selected from the group C₁₋₆ alkyl, C₃₋₆ cycloalkyl, Br, Cl, F, I, C₁₋₄ haloalkyl, -CN, nitro, -SH, -S(O)_nR²ⁿ, -COR^{2m}, -OC(O)R²ⁿ, -NR^{2g}COR^{2m}, -N(COR^{2m})₂, -NR^{2g}CONR^{2o}R^{2p}, -NR^{2g}CO₂R²ⁿ, -NR^{2o}R^{2p} and -CONR^{2o}R^{2p};

20 R^{2j} is selected from heteroaryl wherein heteroaryl is selected from pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl,
 25 pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-s-oxide, 2,3-dihydro-benzothienyl-S-dioxide, indolinyl, benzoxazolin-2-onyl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted on

30 0-4 carbon atoms with a substituent independently selected from the group C₁₋₆ alkyl, C₃₋₆ cycloalkyl, Br, Cl, F, I, C₁₋₄ haloalkyl, -CN, nitro, OR^{2m}, -SH, -S(O)_nR^{2h}, -COR^{2m}, -OC(O)R^{2h}, -NR^{2g}COR^{2m}, -N(COR^{2m})₂, -NR^{2g}CONR^{2o}R^{2p}, -NR^{2g}CO₂R^{2h}, -NR^{2o}R^{2p} and -CONR^{2o}R^{2p} and each heteroaryl being
 35 substituted on any nitrogen atom with 0-1 substituents selected from the group R^{2g}, CO₂R^{2e}, COR^{2e} and SO₂R^{2e};

R^{2k} is heterocyclyl which is a saturated or partially saturated heteroaryl as defined for R^{2j} , each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected from the group C_{1-6} alkyl, C_{3-6}

5 cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, -
 OR^{2m} , -SH, $-S(O)_nR^{2h}$, $-COR^{2m}$, $-OC(O)R^{2h}$, $-NR^{2g}COR^{2m}$, -
 $N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$ and
each heterocyclyl being substituted on any nitrogen atom
10 COR^{2e} and SO_2R^{2e} ;

wherein

R^{21} is H, C_{1-4} alkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl or C_{3-8}
15 cycloalkyl;

R^{2m} is H, C_{1-6} alkyl, C_{3-6} cycloalkyl C_{1-6} alkyl, C_{1-2} alkyloxy
 C_{1-2} alkyl, C_{1-4} haloalkyl, $R^{2q}S(O)_n-C_{1-4}$ alkyl or $R^{2r}R^{2s}N-C_{2-4}$
alkyl;

20

R^{2n} is H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6}
alkyl, C_{1-2} alkyloxy C_{1-2} alkyl,
or C_{1-4} haloalkyl;

25

R^{2o} and R^{2p} are independently selected at each occurrence
from H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl C_{1-6} alkyl
and C_{1-4} haloalkyl;

30

R^{2q} is selected from C_{1-6} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-
 C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, aryl,
aryl(C_{1-4} alkyl), heteroaryl and heteroaryl (C_{1-4} alkyl)-
and benzyl, each benzyl being substituted on the aryl
moiety with 0-1 substituents selected from the group C_{1-4}
alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy C_{1-4}
35 haloalkoxy, and dimethylamino;

$R^{2r}R^{2s}$ taken together with the N form 1-pyrrolidinyl, 1-
morpholinyl, 1-piperidinyl or 1-piperazinyl wherein N^4 in

1-piperiazinyl is substituted with 0-1 substituents selected from the group R^{2t} , CO_2R^{2q} , COR^{2q} and SO_2R^{2q} ;

5 R^{2t} is selected from H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy- C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl - C_{1-6} alkyl, aryl, aryl (C_{1-4} alkyl)-, heteroaryl and heteroaryl (C_{1-4} alkyl);

10 R^3 is an aryl or heteroaryl group attached through an unsaturated carbon atom;

aryl is selected from phenyl, naphthyl, indanyl and indenyl, each aryl being substituted with 0-5 substituents independently selected at each occurrence
15 from C_{1-6} alkyl, C_{3-6} cycloalkyl, methylenedioxy, C_{1-4} alkyloxy- C_{1-4} alkyloxy, $-OR^{2m}$, Br, Cl, F, I, C_{1-4} haloalkyl, $-CN$, $-NO_2$, $-SH$, $-S(O)_nR^{2n}$, $-COR^{2m}$, $-CO_2R^{2m}$, $-OC(O)R^{2n}$, $-NR^{2q}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2q}CONR^{2o}R^{2p}$, $-NR^{2q}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $CONR^{2o}R^{2p}$;

20 heteroaryl is selected from the group pyridyl, pyrimidyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl,
25 isoxazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzo-furanyl, 2,3-dihydrobenzothienyl, 2,3-dihydro-benzothienyl-S-oxide, 2,3-dihydrobenzothienyl-s-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane,
30 each heteroaryl being substituted at 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, F, I, C_{1-4} haloalkyl, $-CN$, $NR^{2q}R^{2h}$, nitro, $-OR^{2m}$, $-SH$, $S(O)_nR^{2n}$, COR^{2m} , $-CO_2R^{2m}$, $-OC(O)R^{2n}$, $-NR^{2q}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2q}CONR^{2o}R^{2p}$ and each heteroaryl being substituted at any
35 nitrogen atom with 0-1 substituents selected from the group R^{2g} , CO_2R^{3a} , COR^{3a} and SO_2R^{3a} wherein,

R^{3a} is selected from the group C₁₋₆ alkyl, C₁₋₄ cycloalkyl-C₁₋₆ alkyl and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C₁₋₄ alkyl, Br, Cl, F, I, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, and dimethylamino;

R⁴ and R⁵ are independently selected at each occurrence from H, Br, Cl, F, I, -CN, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, amino, C₁₋₄ alkylamino, (C₁₋₄ alkyl)₂ amino and phenyl, each phenyl is substituted with 0-3 groups selected from the group consisting of C₁₋₇ alkyl, C₃₋₈ cycloalkyl, Br, Cl, F, I, -C(O)H, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C₁₋₄ alkylthio, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₆ alkylamino and (C₁₋₄ alkyl)₂ amino and wherein R⁴ and R⁵ non-phenyl groups may be substituted with 0-5 substituents selected from OH, halogen, -C(O)H, -OC₁₋₆-alkyl and C₁₋₆ haloalkyl, C₁₋₆ alkyl, C₃₋₇ c-alkyl, C₁₋₆ alkyl(OH)_nCO₂R wherein R is H or C₁₋₆ alkyl, C₁₋₆ alkyl(OH)_n, wherein n is 0-3 or R⁴ and R⁵ may join together to form a C₃₋₆ alkylene chain;

R⁶, R^{6a} and R⁷ are independently selected from: H, C₁₋₁₀ alkyl, C₃₋₁₀ cycloalkyl, C₃₋₁₀ alkenyl, C₃₋₁₀ alkynyl, C₁₋₁₀ haloalkyl, C₂₋₈ alkoxyalkyl, C₄₋₁₂ cycloalkylalkyl, C₅₋₁₀ cycloalkenyl, and C₆₋₁₄ cycloalkenylalkyl;

R⁶, R^{6a} and R⁷ are substituted with 0-6 substituents independently selected from halogen, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy and C₁₋₄ haloalkyl;

with the that the compounds of Formula I with R¹, R², R³, R⁴ and R⁵ as specifically defined below are excluded:

(a) a compound of formula I wherein A=CR⁵, R⁵ is p-Cl-Ph, R¹=H, R²=H and R³ = p-CF₃-Ph ;

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(b) a compound of formula I wherein $A=CR^5$, $R^5=p\text{-Cl-Ph}$, $R^1=CH_3$, $R^2=H$, $R^3=p\text{-CF}_3\text{-Ph}$;

(c) a compound of formula I wherein $A=CR^5$, $R^5=Ph$, $R^1=Me$,
5 $R^2=H$, $R^3=p\text{-CF}_3\text{-Ph}$;

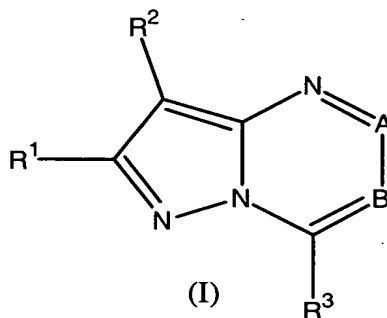
(d) a compound of formula I wherein $A=CR^5$, $R^5=Ph$, $R^1=H$,
 $R^2=H$, $R^3=p\text{-CF}_3\text{-Ph}$;

10 (e) a compound of formula I wherein $A=CR^5$, $R^3=Ph$ and R^2 is H, Br, CN, CO_2Et or Cl ;

(f) a compound of formula I wherein $A=CR^5$, $R^5=CH_3$, C_2H_5 or Ph, $R^1=H$, $R^2=H$ and $R^3=Ph$.

15

2. A compound of formula I:



20

or a stereoisomer or pharmaceutically acceptable salt thereof, wherein:

A equals N or CR^5 ;

25

B equals CR^4 ;

provided that A can not be CR^5 and B can not be CR^4 to form a pyrazolopyrimidine; and wherein,

30

R^1 is independently selected from the group consisting of

- H,
 halogen,
 CN,
 C₁₋₆ alkyl,
 5 C₂₋₁₀ alkenyl,
 C₂₋₁₀ alkynyl,
 C₃₋₆ cycloalkyl,
 C₁₋₆ alkyloxy,
 C₁₋₆ alkylS(O)_n,
 10 -NR^{1a}R^{1b} wherein R^{1a} and R^{1b} are independently selected from
 H, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, -C(O)C₁₋₄alkyl,
 C₁₋₆ alkylNR^{1a}R^{1b},
 NR^{1a}COR^{1b},
 -C(O)NR^{1a}R^{1b},
 15 -O-C(O)C₁₋₄alkyl,

 -XR^{1c} wherein R^{1c} is selected from H or -C₁₋₄ alkylaryl;
 X is selected from O or S(O)_n,

 20 wherein R¹ is substituted with 0-6 substituents selected
 from halogen, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₄
 haloalkyl, C₁₋₄alkylamino, C₂₋₈dialkylamino, C₁₋₄alkyloxy,
 C₁₋₄ alkylthio, C₁₋₄ alkylsulfinyl or C₁₋₄ alkylsulfonyl;

 25 R² is selected from the group consisting of OR⁷, SH, NR⁶R⁷,
 C(OH)R⁶R^{6a}, C(OR⁷)R⁶R^{6a}, S(O)_nR¹³, COR⁷, CO₂R⁷, CHR⁶(OR⁷)R^{6a},
 OC(O)R¹³, NO, NO₂, NR⁶C(O)R⁷, N(COR⁷)₂, NR⁸CONR⁶R⁷ or NR⁶CO₂R⁷;

 or R² is selected from:
 30 C₁₋₁₀ alkyl,
 C₂₋₁₀ alkenyl,
 C₂₋₁₀ alkynyl,
 C₃₋₈ cycloalkyl,
 35 C₃₋₆ cycloalkyl C₁₋₆ alkyl,
 C₁₋₁₀ alkyloxy,
 C₁₋₁₀ alkyloxyC₁₋₁₀ alkyl,
 -SO₂-C₁₋₁₀alkyl

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- SO₂R^{2a} wherein R^{2a} is aryl,
-SO₂R^{2b} wherein R^{2b} is heteroaryl,
-NR^{2c}R^{2d} wherein R^{2c} and R^{2d} are independently selected from
H, C₁₋₈ alkyl, S(O)_nC₁₋₄alkyl, C(O)NR^{2c}R^{2d}, CO₂C₁₋₄alkyl, C₃₋₈
5 cycloalkyl, C₁₋₆ alkyloxyC₁₋₆ alkyl,
-C(O)C₁₋₄alkyl or R^{2c} and R^{2d} may join to form a
heterocyclic ring having 0-3 heteroatoms selected from O,
N or S,
- 10 -C(O)-L wherein L is selected from H, NR^{2c}R^{2d}, and C₁₋₆ alkyl
O(CH₂)_mOR wherein R is
C₁₋₃ alkyl, O(CH₂)_m-NR^{2c}R^{2d}, OH, C(O)OC₁₋₆alkyl, or aryl or
heteroaryl wherein m is 1-4; or
- 15 -OC(O)-M wherein M is selected from C₁₋₄ alkyl, C₁₋₄
haloalkyl, C₂₋₈ alkoxyalkyl,
C₃₋₆ cycloalkyl, C₄₋₁₂ cycloalkylalkyl, aryl, C₁₋₆ alkylaryl,
heteroaryl, and C₁₋₆ alkylheteroaryl;
- 20 n is 0, 1 or 2; and wherein
- R² is substituted with 0-3 substituents independently
selected from R', R'', R''' wherein R', R'' and R''' are
independently selected from C₁₋₆ alkyl, C₃₋₇ cycloalkyl,
25 hydroxyc₁₋₆ alkyl, C₁₋₆ alkyloxyC₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆
alkynyl, C₁₋₆ alkyloxy, and hydroxy, or
- R² is substituted with 0-3 substituents independently
selected from:
- 30 halogen,
-CN,
-S(O)_nR^{2e} wherein R^{2e} is selected from C₁₋₄ alkyl, C₁₋₄
haloalkyl, C₁₋₄ alkyloxy C₁₋₄ alkyl, and C₃₋₆ cycloalkyl;
- 35 -COR^{2f} wherein R^{2f} is selected from H, C₁₋₄ alkyl, C₁₋₄
haloalkyl, C₁₋₄ alkyloxy C₁₋₄ alkyl,
C₃₋₆ cycloalkyl, and C₃₋₆ cycloalkylC₁₋₄ alkyl;
-CO₂R^{2f},

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$-\text{NR}^{2g}\text{COR}^{2f}$ wherein R^{2g} is selected from H, C_{1-6} alkyl, C_{3-7} cycloalkyl, and

C_{3-6} cycloalkyl C_{1-6} alkyl;

$-\text{N}(\text{COR}^{2f})_2$,

5 $-\text{NR}^{2g}\text{CONR}^{2f}\text{R}^{2h}$, wherein R^{2h} is selected from H, C_{1-6} alkyl, C_{1-4} haloalkyl,

C_{1-4} alkoxy C_{1-4} alkyl, C_{3-6} cycloalkyl and C_{3-6} cycloalkyl C_{1-6} alkyl;

$-\text{NR}^{2g}\text{CO}_2\text{R}^{2e}$,

10 $-\text{CONR}^{2g}\text{R}^{2h}$,

1-morpholinyl,

1-piperidinyl,

1-piperazinyl,

and

15 C_{3-8} cycloalkyl wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from -O-, $-\text{S}(\text{O})_n-$, $-\text{NR}^{2g}-$, $-\text{NCO}_2\text{R}^{2e}$, $-\text{NCOR}^{2e}$, and $-\text{NSO}_2\text{R}^{2e}$; and wherein N^4 in 1-piperazinyl is substituted with 0-1 substituents selected from R^{2g} , CO_2R^{2e} , COR^{2e} and SO_2R^{2e} ; or

20

the group R^{2i} , R^{2j} , R^{2k} , C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-\text{OR}^{2g}$, $-\text{NR}^{2g}\text{R}^{2h}$, $-\text{C}_{1-6}$ alkyl- OR^{2g} , and C_{3-8} cycloalkyl which is substituted with 0-1 R^{2i} and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-,

25

wherein

R^{2i} is selected from aryl wherein aryl is selected from phenyl, naphthyl, indanyl and indenyl, each R^{2i} being substituted with 0-1 OR^{2m} and 0-5 substituents

30

independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, -SH, $-\text{S}(\text{O})_n\text{R}^{2n}$, $-\text{COR}^{2m}$, $-\text{OC}(\text{O})\text{R}^{2n}$, $-\text{NR}^{2g}\text{COR}^{2m}$, $-\text{N}(\text{COR}^{2m})_2$, $-\text{NR}^{2g}\text{CONR}^{2o}\text{R}^{2p}$, $-\text{NR}^{2g}\text{CO}_2\text{R}^{2n}$, $-\text{NR}^{2o}\text{R}^{2p}$ and $-\text{CONR}^{2o}\text{R}^{2p}$;

35

R^{2j} is selected from heteroaryl wherein heteroaryl is selected from pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl,

- benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-s-oxide, 2,3-dihydro-benzothienyl-S-dioxide, indolinyl, benzoxazolin-2-onyl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, OR^{2m} , -SH, $-S(O)_nR^{2h}$, - COR^{2m} , $-OC(O)R^{2h}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{2g} , CO_2R^{2e} , COR^{2e} and SO_2R^{2e} ;
- 15 R^{2k} is heterocyclyl which is a saturated or partially saturated heteroaryl as defined for R^{2j} , each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{2m}$, -SH, $-S(O)_nR^{2h}$, $-COR^{2m}$, $-OC(O)R^{2h}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$ and each heterocyclyl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{2f} , CO_2R^{2e} , COR^{2e} and SO_2R^{2e} ;
- 25 wherein
- R^{21} is H, C_{1-4} alkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl or C_{3-8} cycloalkyl;
- 30 R^{2m} is H, C_{1-6} alkyl, C_{3-6} cycloalkyl C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, C_{1-4} haloalkyl, $R^{2g}S(O)_n-C_{1-4}$ alkyl or $R^{2r}R^{2s}N-C_{2-4}$ alkyl;
- 35 R^{2n} is H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, or C_{1-4} haloalkyl;

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R^{2o} and R^{2p} are independently selected at each occurrence from H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl C_{1-6} alkyl and C_{1-4} haloalkyl;

- 5 R^{2q} is selected from C_{1-6} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy- C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl), heteroaryl and heteroaryl (C_{1-4} alkyl)- and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy C_{1-4} haloalkoxy, and dimethylamino;

- 15 $R^{2r}R^{2s}$ taken together with the N form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl wherein N^4 in 1-piperiazinyl is substituted with 0-1 substituents selected from the group R^{2t} , CO_2R^{2q} , COR^{2q} and SO_2R^{2q} ;

- 20 R^{2t} is selected from H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy- C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl - C_{1-6} alkyl, aryl, aryl (C_{1-4} alkyl)-, heteroaryl and heteroaryl (C_{1-4} alkyl);

- 25 R^3 is selected from an aryl or heteroaryl group attached through an unsaturated carbon atom;

- aryl is selected from phenyl, naphthyl, indanyl and indenyl, each aryl being substituted with 0-5 substituents independently selected at each occurrence from C_{1-6} alkyl, C_{3-6} cycloalkyl, methylenedioxy, C_{1-4} alkyloxy- C_{1-4} alkyloxy, $-OR^{2m}$, Br, Cl, F, I, C_{1-4} haloalkyl, $-CN$, $-NO_2$, $-SH$, $-S(O)_nR^{2n}$, $-COR^{2m}$, $-CO_2R^{2m}$, $-OC(O)R^{2n}$, $-NR^{2q}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2q}CONR^{2o}R^{2p}$, $-NR^{2q}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $CONR^{2o}R^{2p}$;

- 35 heteroaryl is selected from the group pyridyl, pyrimidyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl,

isoxazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzo-furanyl, 2,3-dihydrobenzothienyl, 2,3-dihydro-benzothienyl-S-oxide, 2,3-dihydrobenzothienyl-s-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl
 5 and benzodioxane, each heteroaryl being substituted at 0-4 carbon atoms with a substituent independently selected at each occurrence from C₁₋₆ alkyl, C₃₋₆ cycloalkyl, Br, F, I, C₁₋₄ haloalkyl, -CN, NR^{2g}R^{2h}, nitro, -OR^{2m}, -SH, -S(O)_nR²ⁿ, COR^{2m}, -CO₂R^{2m}, -OC(O)R²ⁿ, -NR^{2g}COR^{2m}, -N(COR^{2m})₂, and
 10 NR^{2g}CONR^{2o}R^{2p} and each heteroaryl being substituted at any nitrogen atom with 0-1 substituents selected from the group R^{2g}, CO₂R^{3a}, COR^{3a} and SO₂R^{3a} wherein,

R^{3a} is selected from the group C₁₋₆ alkyl, C₁₋₄ cycloalkyl-C₁₋₆
 15 alkyl and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C₁₋₄ alkyl, Br, Cl, F, I, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, and dimethylamino;

R⁴ and R⁵ are independently selected at each occurrence
 20 from H, Br, Cl, F, I, -CN, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, amino, C₁₋₄ alkylamino, (C₁₋₄ alkyl)₂ amino and phenyl, each phenyl is substituted
 25 with 0-3 groups selected from the group consisting of C₁₋₇ alkyl, C₃₋₈ cycloalkyl, Br, Cl, F, I, -C(O)H, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C₁₋₄ alkylthio, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₆ alkylamino and (C₁₋₄ alkyl)₂ amino and wherein R⁴ and R⁵
 30 non-phenyl groups may be substituted with 0-5 substituents selected from OH, halogen, -C(O)H, -OC₁₋₆-alkyl and C₁₋₆ haloalkyl, C₁₋₆ alkyl, C₃₋₇ c-alkyl, C₁₋₆ alkyl(OH)_nCO₂R wherein R is H or C₁₋₆ alkyl, C₁₋₆ alkyl(OH)_n, wherein n is 0-3 or R⁴ and R⁵ may join together to form a
 35 C₃₋₆ alkylene chain;

R⁶, R^{6a} and R⁷ are independently selected from: H, C₁₋₁₀ alkyl, C₃₋₁₀ cycloalkyl, C₃₋₁₀ alkenyl, C₃₋₁₀ alkynyl, C₁₋₁₀

haloalkyl, C₂₋₈ alkoxyalkyl, C₄₋₁₂ cycloalkylalkyl, C₅₋₁₀ cycloalkenyl, and C₆₋₁₄ cycloalkenylalkyl; and

5 R⁶, R^{6a} and R⁷ are substituted with 0-6 substituents independently selected from halogen, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, and C₁₋₄ haloalkyl.

10 3. A compound according to Claim 1 wherein

R¹ is selected from C₁₋₆ alkyl, C₃₋₆ cycloalkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, -XR^{1c} wherein R¹ is substituted with 0-6 substituents selected from halogen, C₁₋₄ alkyl or C₁₋₄ haloalkyl;

15

R² is selected from C₁₋₁₀ alkyl, C₂₋₁₀ alkenyl, C₂₋₁₀ alkynyl, C₃₋₈ cycloalkyl, C₃₋₆ cycloalkyl C₁₋₆ alkyl, and -NR^{2c}R^{2d} wherein R² is unsubstituted or substituted with 1-3 substituents independently selected from the group R²ⁱ, R^{2j}, R^{2k}, C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, Br, Cl, F, I, C₁₋₄ haloalkyl, -OR^{2g}, -NR^{2g}R^{2h}, -C₁₋₆ alkyl-OR^{2g}, and C₃₋₈ cycloalkyl which is substituted with 0-1 R²ⁱ and in which 0-1 carbons of C₄₋₈ cycloalkyl is replaced by -O-.

25 4. A compound according to Claims 1, wherein R³ is selected from an aryl group selected from phenyl or substituted versions thereof or a heteroaryl group selected from pyridyl or substituted versions thereof.

30 5. A compound according to Claim 4 wherein R³ is substituted with 0-4 substituents independently selected from halogen, C₁₋₄ alkyloxy, C₁₋₆ alkyl or NR'R'' wherein R' and R'' are independently selected from H or C₁₋₆ alkyl.

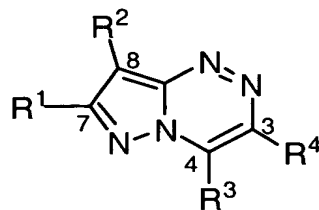
35 6. A compound according to Claims 1 wherein R² is selected from 3-pentyl, NEt₂, butyl, NHCH(CH₂OMe)₂, NHCH(CH₂OEt)₂, NHCH(Et)CH₂OMe, NH-3-heptyl, NH-3-pentyl, NH-2-butyl, NH-3-hexyl, NHCH(CH₂Ph)CH₂OMe,

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NHCH(Et)CH₂CH₂OMe, NH-cyclobutyl, NH-cyclopentyl, NEtPr,
NEtBu, NMePr, NMePh, Npr₂, NPr(CH₂-c-C₃H₅),
N(CH₂CH₂OMe)₂, morpholino, N(CH₂Ph)CH₂CH₂OMe,
N(Me)CH₂CH₂OMe, N(Et)CH₂CH₂OMe, N(CH₂-c-C₃H₅)CH₂CH₂OMe,
5 N(CH₂-c-C₃H₅)Pr, N(CH₂-c-C₃H₅)Et, OEt, OCH(Et)CH₂OMe,
OCH(Et)CH₂CH₂OMe, OCH(Me)CH₂CH₂OMe, O-3-pentyl, O-2-
pentyl, S-3-pentyl, S-2-pentyl, SEt, S(O)Et, SO₂Et, S-3-
pentyl, S(O)-3-pentyl, SO₂-3-pentyl, S-2-pentyl, S(O)-2-
pentyl, SO₂-2-pentyl, CH(CO₂Et)₂, C(Et)(CO₂Et)₂,
10 CH(Et)CH₂OH, CH(Et)CH₂OMe, CH(Et)CH₂CH₂OMe, CONMe₂,
COCH₃, COEt, COPr, CO-2-pentyl, CO-3-pentyl, CH(OH)CH₃,
C(OH)Me₂, C(OH)Ph-3-pyridyl, CH(OMe)CH₃, CH(OMe)Et,
CH(OMe)Pr, CH(OEt)CH₃, CH(OPr)CH₃, 2-pentyl, 2-butyl,
cyclobutyl, cyclopentyl, CH(Me)cyclobutyl,
15 CH(OMe)cyclobutyl, CH(OH)cyclobutyl, CH(Me)cyclopropyl,
CH(OMe)cyclopropyl, CH(OH)cyclopropyl, CH(Et)cyclobutyl,
CH(Et)cyclopropyl, CH(OMe)cyclobutyl, CH(OMe)cyclopropyl,
CH(OEt)cyclobutyl, CH(OEt)cyclopropyl, CH(Me)CH₂-
cyclobutyl, CH(OMe)CH₂-cyclobutyl, CH(OH)CH₂-cyclobutyl,
20 CH(Me)CH₂-cyclopropyl, CH(OMe)CH₂-cyclopropyl, CH(OH)CH₂-
cyclopropyl, CH(Et)CH₂-cyclobutyl, CH(Et)CH₂-cyclopropyl,
CH(OMe)CH₂-cyclobutyl, CH(OMe)CH₂-cyclopropyl,
CH(OEt)CH₂-cyclobutyl, CH(OEt)CH₂-cyclopropyl,
CH(CH₂OMe)cyclobutyl, CH(CH₂OMe)cyclopropyl,
25 CH(CH₂OEt)cyclobutyl, CH(CH₂OEt)cyclopropyl,
CH(cyclobutyl)₂, CH(cyclopropyl)₂, CH(Et)CH₂CONMe₂,
CH(Et)CH₂CH₂NMe₂, CH(CH₂OMe)Me, CH(CH₂OMe)Et,
CH(CH₂OMe)Pr, CH(CH₂OEt)Me, CH(CH₂OEt)Et, CH(CH₂OEt)Pr,
CH(CH₂C≡CMe)Et, CH(CH₂C≡CMe)Et.

30

7. A compound of formula Ib



wherein R^1 is independently selected from the group consisting of

- 5 H,
halogen,
CN,
 C_{1-6} alkyl,
 C_{2-10} alkenyl,
- 10 C_{2-10} alkynyl,
 C_{3-6} cycloalkyl,
 C_{1-6} alkyloxy,
 C_{1-6} alkylS(O)_n,
-NR^{1a}R^{1b} wherein R^{1a} and R^{1b} are independently selected from
- 15 H, C_{1-4} alkyl, C_{3-8} cycloalkyl,
-C(O) C_{1-4} alkyl,
 C_{1-6} alkylNR^{1a}R^{1b},
NR^{1a}COR^{1b},
-C(O)NR^{1a}R^{1b},
- 20 -O-C(O) C_{1-4} alkyl,

-XR^{1c} wherein R^{1c} is selected from H or - C_{1-4} alkylaryl;
X is selected from O or S(O)_n,
- 25 wherein R¹ is substituted with 0-6 substituents selected
from halogen, C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{1-6} alkyloxy, C_{1-4}
haloalkyl, C_{1-4} alkylamino, C_{2-8} dialkylamino, C_{1-4} alkylthio,
 C_{1-4} alkylsulfinyl or C_{1-4} alkylsulfonyl;
- 30 R² is selected from the group consisting of H, OR⁷, SH,
NR⁶R⁷, C(OH)R⁶R^{6a}, C(OR⁷)R⁶R^{6a}, S(O)_nR¹³, COR⁷, CO₂R⁷,
CHR⁶(OR⁷)R^{6a}, OC(O)R¹³, NO, NO₂, NR⁶C(O)R⁷, N(COR⁷)₂,
NR⁸CONR⁶R⁷, NR⁶CO₂R⁷; or

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- C₁₋₁₀ alkyl,
C₂₋₁₀ alkenyl,
C₂₋₁₀ alkynyl,
C₃₋₈ cycloalkyl,
5 C₃₋₆ cycloalkyl C₁₋₆ alkyl,
C₁₋₁₀ alkyloxy,
C₁₋₁₀ alkyloxyC₁₋₁₀ alkyl,
-SO₂-C₁₋₁₀alkyl
-SO₂R^{2a} wherein R^{2a} is aryl,
10 -SO₂R^{2b} wherein R^{2b} is heteroaryl,
-NR^{2c}R^{2d} wherein R^{2c} and R^{2d} are independently selected from
H, C₁₋₈ alkyl, S(O)_nC₁₋₄alkyl, C(O)NR^{2c}R^{2d}, CO₂C₁₋₄alkyl, C₃₋₈
cycloalkyl, C₁₋₆ alkyloxyC₁₋₆ alkyl, or -C(O)C₁₋₄alkyl,

15 - halogen,
-CN,
-C(O)-L wherein L is selected from H, NR^{2c}R^{2d}, C₁₋₆ alkyl or
OC₁₋₄ alkyl, O(CH₂)_mOR wherein R is C₁₋₃ alkyl,
O(CH₂)_m-NR^{2c}R^{2d}, OH, C(O)OC₁₋₆alkyl or aryl or heteroaryl
20 wherein m is 1-4;

-OC(O)-M wherein M is selected from C₁₋₄ alkyl, C₁₋₄
haloalkyl, C₂₋₈ alkoxyalkyl,
C₃₋₆cycloalkyl, C₄₋₁₂ cycloalkylalkyl, aryl, C₁₋₆
25 alkylaryl, heteroaryl,
C₁₋₆ alkylheteroaryl;

n is 0, 1 or 2; and wherein

30 R² is substituted with 0-3 substituents independently
selected from R', R'', R''' wherein R', R'' and R''' are
independently selected from C₁₋₆ alkyl, C₃₋₇ cycloalkyl,
hydroxC₁₋₆ alkyl, C₁₋₆ alkyloxyC₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆
alkynyl, C₁₋₆ alkyloxy, hydroxy, or
35 R² is substituted with 0-3 substituents independently
selected from:

halogen,

-CN,

-S(O)_nR^{2e} wherein R^{2e} is selected from C₁₋₄ alkyl, C₁₋₄

haloalkyl, C₁₋₄ alkyloxy C₁₋₄ alkyl,

5 C₃₋₆ cycloalkyl;

-COR^{2f} wherein R^{2f} is selected from H, C₁₋₄ alkyl, C₁₋

haloalkyl, C₁₋₄ alkyloxy C₁₋₄ alkyl, C₃₋₆ cycloalkyl, and C₃₋₆ cycloalkylC₁₋₄ alkyl;

-CO₂R^{2f},

10 -NR^{2g}COR^{2f} wherein R^{2g} is selected from H, C₁₋₆ alkyl, C₃₋₇ cycloalkyl, C₃₋₆ cycloalkyl C₁₋₆ alkyl;

-N(COR^{2f})₂,

-NR^{2g}CONR^{2f}R^{2h}, wherein R^{2h} is selected from H, C₁₋₆ alkyl, C₁₋₄ haloalkyl, C₁₋₄ alkoxy C₁₋₄ alkyl, C₃₋₆ cycloalkyl and C₃₋₆

15 cycloalkylC₁₋₆ alkyl;

-NR^{2g}CO₂R^{2e},

-CONR^{2g}R^{2h},

1-morpholinyl,

20 1-piperidinyl,

1-piperazinyl,

and

C₃₋₈ cycloalkyl wherein 0-1 carbon atoms in the C₄₋₈

cycloalkyl is replaced by a group selected from -O-,

25 -S(O)_n-, -NR^{2g}-, -NCO₂R^{2e}, -NCOR^{2e}, and -NSO₂R^{2e}; and wherein N⁴ in 1-piperazinyl is substituted with 0-1 substituents selected from R^{2g}, CO₂R^{2e}, COR^{2e} and SO₂R^{2e}; or

the group R²ⁱ, R^{2j}, R^{2k}, C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl,

30 Br, Cl, F, I, C₁₋₄ haloalkyl, -OR^{2g}, -NR^{2g}R^{2h}, -C₁₋₆ alkyl-OR^{2g},

and C₃₋₈ cycloalkyl which is substituted with 0-1 R²ⁱ and in which 0-1 carbons of C₄₋₈ cycloalkyl is replaced by -O-,

wherein R²ⁱ is selected from aryl wherein aryl is selected from phenyl, naphthyl, indanyl and indenyl, each R²ⁱ being

35 substituted with 0-1 OR^{2m} and 0-5 substituents

independently selected from the group C₁₋₆ alkyl, C₃₋₆

cycloalkyl, Br, Cl, F, I, C₁₋₄ haloalkyl, -CN, nitro, -SH, -

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$S(O)_nR^{2n}$, $-COR^{2m}$, $-OC(O)R^{2n}$, $-NR^{2g}COR^{2m}$,
 $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2n}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$;

R^{2j} is selected from heteroaryl wherein heteroaryl is
5 selected from pyridyl, pyrimidinyl, triazinyl, furanyl,
quinolinyl, isoquinolinyl, thienyl, imidazolyl,
thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl,
benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl,
pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-
10 dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-
dihydrobenzothienyl-s-oxide, 2,3-dihydro-benzothienyl-S-
dioxide, indolinyl, benzoxazolin-2-onyl, benzodioxolanyl
and benzodioxane, each heteroaryl being substituted on
0-4 carbon atoms with a substituent independently
15 selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl,
F, I, C_{1-4} haloalkyl, -CN, nitro, OR^{2m} , -SH, $-S(O)_nR^{2h}$,
 $-COR^{2m}$, $-OC(O)R^{2h}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$,
 $-NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$ and each heteroaryl being
substituted on any nitrogen atom with 0-1 substituents
20 selected from the group R^{2g} , CO_2R^{2e} , COR^{2e} and SO_2R^{2e} ;

R^{2k} is heterocyclyl which is a saturated or partially
saturated heteroaryl as defined for R^{2j} , each heterocyclyl
being substituted on 0-4 carbon atoms with a substituent
25 independently selected from the group C_{1-6} alkyl, C_{3-6}
cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro,
 OR^{2m} , -SH, $-S(O)_nR^{2h}$, $-COR^{2m}$, $-OC(O)R^{2h}$, $-NR^{2g}COR^{2m}$,
 $-N(COR^{2m})_2$, $-NR^{2g}CONR^{2o}R^{2p}$, $-NR^{2g}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $-CONR^{2o}R^{2p}$ and
each heterocyclyl being substituted on any nitrogen atom
30 with 0-1 substituents selected from the group R^{2f} , CO_2R^{2e} ,
 COR^{2e} and SO_2R^{2e} ;

wherein

35 R^{21} is H, C_{1-4} alkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl or C_{3-8}
cycloalkyl;

R^{2m} is H, C_{1-6} alkyl, C_{3-6} cycloalkyl C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, C_{1-4} haloalkyl, $R^{2q}S(O)_n-C_{1-4}$ alkyl or $R^{2r}R^{2s}N-C_{2-4}$ alkyl;

- 5 R^{2n} is H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, or C_{1-4} haloalkyl;

- 10 R^{2o} and R^{2p} are independently selected at each occurrence from H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl C_{1-6} alkyl and C_{1-4} haloalkyl;

- 15 R^{2q} is selected from C_{1-6} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy- C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl), heteroaryl and heteroaryl (C_{1-4} alkyl)- and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy C_{1-4} haloalkoxy, and dimethylamino;

- 20 $R^{2r}R^{2s}$ taken together with the N form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl wherein N^4 in 1-piperiazinyl is substituted with 0-1 substituents selected from the group R^{2t} , CO_2R^{2q} , COR^{2q} and SO_2R^{2q} ;

- 25 R^{2t} is selected from H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy- C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl - C_{1-6} alkyl, aryl, aryl (C_{1-4} alkyl)-, heteroaryl and heteroaryl (C_{1-4} alkyl);

- 30 R^3 is an aryl or heteroaryl group attached through an unsaturated carbon atom;

- 35 aryl is selected from phenyl, naphthyl, indanyl and indenyl, each aryl being substituted with 0-5 substituents independently selected at each occurrence from C_{1-6} alkyl, C_{3-6} cycloalkyl, methylenedioxy, C_{1-4} alkyloxy- C_{1-4} alkyloxy, $-OR^{2m}$, Br, Cl, F, I, C_{1-4} haloalkyl,

-CN, -NO₂, -SH, -S(O)_nR²ⁿ, -COR^{2m}, -CO₂R^{2m}, -OC(O)R²ⁿ,
 NR^{2g}COR^{2m}, -N(COR^{2m})₂, -NR^{2g}CONR^{2o}R^{2p}, -NR^{2g}CO₂R^{2h}, -NR^{2o}R^{2p} and
 CONR^{2o}R^{2p};

- 5 heteroaryl is selected from the group pyridyl, pyrimidyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, triazolyl, tetrazolyl, indazolyl,
- 10 2,3-dihydrobenzo-furanyl, 2,3-dihydrobenzothienyl, 2,3-dihydro-benzothienyl-S-oxide, 2,3-dihydrobenzothienyl-s-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted at 0-4 carbon atoms
- 15 with a substituent independently selected at each occurrence from the group C₁₋₆ alkyl, C₃₋₆ cycloalkyl, Br, F, I, C₁₋₄ haloalkyl, -CN, NR^{2g}R^{2h}, nitro, -OR^{2m}, -SH, S(O)_nR²ⁿ, COR^{2m}, -CO₂R^{2m}, -OC(O)R²ⁿ, -NR^{2g}COR^{2m}, -N(COR^{2m})₂, NR^{2g}CONR^{2o}R^{2p} and each heteroaryl being substituted at any
- 20 nitrogen atom with 0-1 substituents selected from the group R^{2g}, CO₂R^{3a}, COR^{3a} and SO₂R^{3a} wherein,

- R^{3a} is selected from the group C₁₋₆ alkyl, C₁₋₄ cycloalkyl-C₁₋₆ alkyl and benzyl, each benzyl being substituted on the
- 25 aryl moiety with 0-1 substituents selected from the group C₁₋₄ alkyl, Br, Cl, F, I, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, and dimethylamino;

- R⁴ is independently selected at each occurrence from H,
- 30 Br, Cl, F, I, -CN, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, amino, C₁₋₄ alkylamino, (C₁₋₄ alkyl)₂ amino and phenyl, each phenyl is substituted with 0-3 groups selected from the group consisting of C₁₋₇ alkyl, C₃₋₈ cycloalkyl, Br, Cl, F, I, -C(O)H, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C₁₋₄ alkylthio, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₆ alkylamino and (C₁₋₄ alkyl)₂ amino and wherein R⁴ non-phenyl groups may be

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substituted with 0-5 substituents selected from OH, halogen, $-C(O)H$, $-OC_{1-6}$ -alkyl and C_{1-6} haloalkyl, C_{1-6} alkyl, C_{3-7} c-alkyl, C_{1-6} alkyl(OH) $_n$ CO $_2$ R wherein R is H or C_{1-6} alkyl, C_{1-6} alkyl(OH) $_n$, wherein n is 0-3;

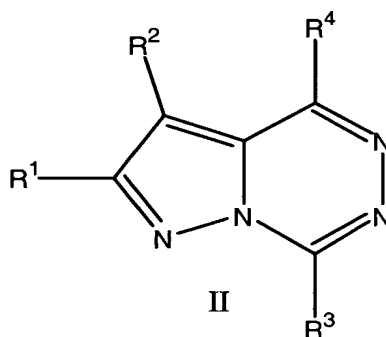
5

R^6 , R^{6a} and R^7 are independently selected from: H, C_{1-10} alkyl, C_{3-10} cycloalkyl, C_{3-10} alkenyl, C_{3-10} alkynyl, C_{1-10} haloalkyl, C_{2-8} alkoxyalkyl, C_{4-12} cycloalkylalkyl, C_{5-10} cycloalkenyl, and C_{6-14} cycloalkenylalkyl;

10

R^6 , R^{6a} and R^7 are substituted with 0-6 substituents independently selected from halogen, C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{1-6} alkyloxy and C_{1-4} haloalkyl.

15 8. A compound of formula II



wherein R^1 is independently selected from the group consisting of

20

H,
halogen,

CN,

C_{1-6} alkyl,

C_{2-10} alkenyl,

25

C_{2-10} alkynyl,

C_{3-6} cycloalkyl,

C_{1-6} alkyloxy,

C_{1-6} alkylS(O) $_n$,

$-NR^{1a}R^{1b}$ wherein R^{1a} and R^{1b} are independently selected from

30

H, C_{1-4} alkyl, C_{3-8} cycloalkyl,

$-C(O)C_{1-4}$ alkyl,

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C_{1-6} alkylNR^{1a}R^{1b},
NR^{1a}COR^{1b},
-C(O)NR^{1a}R^{1b},
-O-C(O)C₁₋₄alkyl,

5

-XR^{1c} wherein R^{1c} is selected from H or -C₁₋₄ alkylaryl;
X is selected from O or S(O)_n,

10 wherein R¹ is substituted with 0-6 substituents selected
from halogen, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₄
haloalkyl, C₁₋₄ alkylamino, C₂₋₈ dialkylamino, C₁₋₄ alkylthio,
C₁₋₄ alkylsulfinyl or C₁₋₄ alkylsulfonyl;

15 R² is selected from the group consisting of H, OR⁷, SH,
NR⁶R⁷, C(OH)R⁶R^{6a}, C(OR⁷)R⁶R^{6a}, S(O)_nR¹³, COR⁷, CO₂R⁷,
CHR⁶(OR⁷)R^{6a}, OC(O)R¹³, NO, NO₂, NR⁶C(O)R⁷, N(COR⁷)₂,
NR⁸CONR⁶R⁷, NR⁶CO₂R⁷; or

20 C₁₋₁₀ alkyl,
C₂₋₁₀ alkenyl,
C₂₋₁₀ alkynyl,
C₃₋₈ cycloalkyl,
C₃₋₆ cycloalkyl C₁₋₆ alkyl,
C₁₋₁₀ alkyloxy,
25 C₁₋₁₀ alkyloxyC₁₋₁₀ alkyl,
-SO₂-C₁₋₁₀alkyl
-SO₂R^{2a} wherein R^{2a} is aryl,
-SO₂R^{2b} wherein R^{2b} is heteroaryl,
-NR^{2c}R^{2d} wherein R^{2c} and R^{2d} are independently selected from
30 H, C₁₋₈ alkyl, S(O)_nC₁₋₄alkyl, C(O)NR^{2c}R^{2d}, CO₂C₁₋₄alkyl, C₃₋₈
cycloalkyl, C₁₋₆ alkyloxyC₁₋₆ alkyl, or -C(O)C₁₋₄alkyl,
- halogen,
-CN,
-C(O)-L wherein L is selected from H, NR^{2c}R^{2d}, C₁₋₆ alkyl or
35 OC₁₋₄ alkyl, O(CH₂)_mOR wherein R is C₁₋₃ alkyl,
O(CH₂)_m-NR^{2c}R^{2d}, OH, C(O)OC₁₋₆alkyl or aryl or heteroaryl
wherein m is 1-4;

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-OC(O)-M wherein M is selected from C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₂₋₈ alkoxyalkyl,

C₃₋₆ cycloalkyl, C₄₋₁₂ cycloalkylalkyl, aryl, C₁₋₆ alkylaryl, heteroaryl,

5 C₁₋₆ alkylheteroaryl;

n is 0, 1 or 2; and wherein

R² is substituted with 0-3 substituents independently
10 selected from R', R'', R''' wherein R', R'' and R''' are
independently selected from C₁₋₆ alkyl, C₃₋₇ cycloalkyl,
hydroxyc₁₋₆ alkyl, C₁₋₆ alkyloxyC₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆
alkynyl, C₁₋₆ alkyloxy, hydroxy, or

15 R² is substituted with 0-3 substituents independently
selected from:

halogen,

-CN,

20 -S(O)_nR^{2e} wherein R^{2e} is selected from C₁₋₄ alkyl, C₁₋₄
haloalkyl, C₁₋₄ alkyloxy C₁₋₄ alkyl,

C₃₋₆ cycloalkyl;

-COR^{2f} wherein R^{2f} is selected from H, C₁₋₄ alkyl, C₁₋
haloalkyl, C₁₋₄ alkyloxy C₁₋₄ alkyl, C₃₋₆ cycloalkyl,

25 and C₃₋₆ cycloalkylC₁₋₄ alkyl;

-CO₂R^{2f},

-NR^{2g}COR^{2f} wherein R^{2g} is selected from H, C₁₋₆ alkyl, C₃₋₇
cycloalkyl, C₃₋₆ cycloalkyl C₁₋₆ alkyl;

-N(COR^{2f})₂,

30 -NR^{2g}CONR^{2f}R^{2h}, wherein R^{2h} is selected from H, C₁₋₆ alkyl, C₁₋
haloalkyl, C₁₋₄ alkoxy C₁₋₄ alkyl, C₃₋₆ cycloalkyl and C₃₋₆
cycloalkylC₁₋₆ alkyl;

-NR^{2g}CO₂R^{2e},

35 -CONR^{2g}R^{2h},

1-morpholinyl,

1-piperidinyl,

1-piperazinyl,

and

C₃₋₈ cycloalkyl wherein 0-1 carbon atoms in the C₄₋₈ cycloalkyl is replaced by a group selected from -O-, -S(O)_n-, -NR^{2g}-, -NCO₂R^{2e}, -NCOR^{2e}, and -NSO₂R^{2e}; and wherein
 5 N⁴ in 1-piperazinyl is substituted with 0-1 substituents selected from R^{2g}, CO₂R^{2e}, COR^{2e} and SO₂R^{2e}; or

the group R²ⁱ, R^{2j}, R^{2k}, C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, Br, Cl, F, I, C₁₋₄ haloalkyl, -OR^{2g}, -NR^{2g}R^{2h}, -C₁₋₆ alkyl-OR^{2g},
 10 and C₃₋₈ cycloalkyl which is substituted with 0-1 R²ⁱ and in which 0-1 carbons of C₄₋₈ cycloalkyl is replaced by -O-, wherein R²ⁱ is selected from aryl wherein aryl is selected from phenyl, naphthyl, indanyl and indenyl, each R²ⁱ being substituted with 0-1 OR^{2m} and 0-5 substituents

15 independently selected from the group C₁₋₆ alkyl, C₃₋₆ cycloalkyl, Br, Cl, F, I, C₁₋₄ haloalkyl, -CN, nitro, -SH, -S(O)_nR²ⁿ, -COR^{2m}, -OC(O)R²ⁿ, -NR^{2g}COR^{2m}, -N(COR^{2m})₂, -NR^{2g}CONR^{2o}R^{2p}, -NR^{2g}CO₂R²ⁿ, -NR^{2o}R^{2p} and -CONR^{2o}R^{2p};

20 R^{2j} is selected from heteroaryl wherein heteroaryl is selected from pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl,
 25 pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-s-oxide, 2,3-dihydro-benzothienyl-S-dioxide, indolinyl, benzoxazolin-2-onyl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted on

30 0-4 carbon atoms with a substituent independently selected from the group C₁₋₆ alkyl, C₃₋₆ cycloalkyl, Br, Cl, F, I, C₁₋₄ haloalkyl, -CN, nitro, OR^{2m}, -SH, -S(O)_nR^{2h}, -COR^{2m}, -OC(O)R^{2h}, -NR^{2g}COR^{2m}, -N(COR^{2m})₂, -NR^{2g}CONR^{2o}R^{2p}, -NR^{2g}CO₂R^{2h}, -NR^{2o}R^{2p} and -CONR^{2o}R^{2p} and each heteroaryl being
 35 substituted on any nitrogen atom with 0-1 substituents selected from the group R^{2g}, CO₂R^{2e}, COR^{2e} and SO₂R^{2e};

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R^{2k} is heterocyclyl which is a saturated or partially saturated heteroaryl as defined for R^{2j} ; each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, -OR^{2m}, -SH, -S(O)_nR^{2h}, -COR^{2m}, -OC(O)R^{2h}, -NR^{2g}COR^{2m}, -N(COR^{2m})₂, -NR^{2g}CONR^{2o}R^{2p}, NR^{2g}CO₂R^{2h}, -NR^{2o}R^{2p} and -CONR^{2o}R^{2p} and each heterocyclyl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{2f} , CO₂R^{2e}, COR^{2e} and SO₂R^{2e};

wherein

R^{21} is H, C_{1-4} alkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl or C_{3-8} cycloalkyl;

R^{2m} is H, C_{1-6} alkyl, C_{3-6} cycloalkyl C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, C_{1-4} haloalkyl, $R^{2g}S(O)_n-C_{1-4}$ alkyl or $R^{2r}R^{2s}N-C_{2-4}$ alkyl;

R^{2n} is H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, C_{1-2} alkyloxy C_{1-2} alkyl, or C_{1-4} haloalkyl;

R^{2o} and R^{2p} are independently selected at each occurrence from H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl C_{1-6} alkyl and C_{1-4} haloalkyl;

R^{2g} is selected from C_{1-6} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy- C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl), heteroaryl and heteroaryl (C_{1-4} alkyl)- and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy C_{1-4} haloalkoxy, and dimethylamino;

$R^{2r}R^{2s}$ taken together with the N form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl wherein N^4

in 1-piperiazinyl is substituted with 0-1 substituents selected from the group R^{2t} , CO_2R^{2q} , COR^{2q} and SO_2R^{2q} ,

5 R^{2t} is selected from H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy $-C_{1-4}$ alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl - C_{1-6} alkyl, aryl, aryl (C_{1-4} alkyl)-, heteroaryl and heteroaryl (C_{1-4} alkyl);

10 R^3 is an aryl or heteroaryl group attached through an unsaturated carbon atom;

aryl is selected from phenyl, naphthyl, indanyl and indenyl, each aryl being substituted with 0-5 substituents independently selected at each occurrence
15 from C_{1-6} alkyl, C_{3-6} cycloalkyl, methylenedioxy, C_{1-4} alkyloxy- C_{1-4} alkyloxy, $-OR^{2m}$, Br, Cl, F, I, C_{1-4} haloalkyl, $-CN$, $-NO_2$, $-SH$, $-S(O)_nR^{2n}$, $-COR^{2m}$, $-CO_2R^{2m}$, $-OC(O)R^{2n}$, $-NR^{2q}COR^{2m}$, $-N(COR^{2m})_2$, $-NR^{2q}CONR^{2o}R^{2p}$, $-NR^{2q}CO_2R^{2h}$, $-NR^{2o}R^{2p}$ and $CONR^{2o}R^{2p}$;

20 heteroaryl is selected from the group pyridyl, pyrimidyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl,
25 isoxazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzo-furanyl, 2,3-dihydrobenzothienyl, 2,3-dihydro-benzothienyl-S-oxide, 2,3-dihydrobenzothienyl-s-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane,
30 each heteroaryl being substituted at 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, F, I, C_{1-4} haloalkyl, $-CN$, $NR^{2g}R^{2h}$, nitro, $-OR^{2m}$, $-SH$, $S(O)_nR^{2n}$, COR^{2m} , $-CO_2R^{2m}$, $-OC(O)R^{2n}$, $-NR^{2g}COR^{2m}$, $-N(COR^{2m})_2$,
35 $NR^{2g}CONR^{2o}R^{2p}$ and each heteroaryl being substituted at any nitrogen atom with 0-1 substituents selected from the group R^{2g} , CO_2R^{3a} , COR^{3a} and SO_2R^{3a} wherein,

R^{3a} is selected from the group C₁₋₆ alkyl, C₁₋₄ cycloalkyl-C₁₋₆ alkyl and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C₁₋₄ alkyl, Br, Cl, F, I, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, and dimethylamino;

R⁴ is independently selected at each occurrence from H, Br, Cl, F, I, -CN, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy, C₁₋₆ alkylthio, C₁₋₆ alkylsulfinyl, C₁₋₆ alkylsulfonyl, amino, C₁₋₄ alkylamino, (C₁₋₄ alkyl)₂ amino and phenyl, each phenyl is substituted with 0-3 groups selected from the group consisting of C₁₋₇ alkyl, C₃₋₈ cycloalkyl, Br, Cl, F, I, -C(O)H, C₁₋₄ haloalkyl, nitro, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, C₁₋₄ alkylthio, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₆ alkylamino and (C₁₋₄ alkyl)₂ amino and wherein R⁴ non-phenyl groups may be substituted with 0-5 substituents selected from OH, halogen, -C(O)H, -OC₁₋₆-alkyl and C₁₋₆ haloalkyl, C₁₋₆ alkyl, C₃₋₇ c-alkyl, C₁₋₆ alkyl(OH)_nCO₂R wherein R is H or C₁₋₆ alkyl, C₁₋₆ alkyl(OH)_n, wherein n is 0-3;

R⁶, R^{6a} and R⁷ are independently selected from: H, C₁₋₁₀ alkyl, C₃₋₁₀ cycloalkyl, C₃₋₁₀ alkenyl, C₃₋₁₀ alkynyl, C₁₋₁₀ haloalkyl, C₂₋₈ alkoxyalkyl, C₄₋₁₂ cycloalkylalkyl, C₅₋₁₀ cycloalkenyl, and C₆₋₁₄ cycloalkenylalkyl;

R⁶, R^{6a} and R⁷ are substituted with 0-6 substituents independently selected from halogen, C₁₋₄ alkyl, C₃₋₈ cycloalkyl, C₁₋₆ alkyloxy and C₁₋₄ haloalkyl.

9. A method of antagonizing a CRF-1 receptor in mammals including humans wherein binding to the receptor causes and ultimately results in the treatment of affective disorder, anxiety, depression, headache, irritable bowel syndrome, post-traumatic stress disorder, supranuclear palsy, immune suppression, Alzheimer's disease, gastrointestinal diseases, anorexia nervosa or other feeding disorder, drug addiction, drug or alcohol

withdrawal symptoms, inflammatory diseases,
cardiovascular or heart-related diseases, fertility
problems, human immunodeficiency virus infections,
hemorrhagic stress, obesity, infertility, head and spinal
5 cord traumas, epilepsy, stroke, ulcers, amyotrophic
lateral sclerosis, hypoglycemia or a disorder the
treatment of which can be effected or facilitated by
antagonizing CRF, comprising administering to the mammal
a therapeutically effective amount of a compound
10 according to Claims 1-8.

10. A pharmaceutical composition comprising a compound
according to Claims 1-8 and a pharmaceutically
acceptable carrier.

15